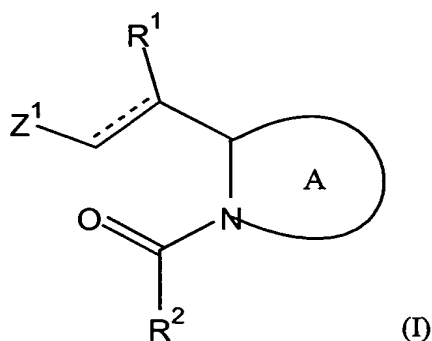


WHAT IS CLAIMED IS:

1. A compound of Formula (I)



wherein

----- is a single or double bond

R^1 is hydrogen, $-CO_2R^3$, $-C(O)R^3$, $-CONR^3R^3$, $-CH_2OR^4$ or $-CH_2SR^4$;

ring A is an optionally substituted 4 to 7 membered azaheterocyclyl ring or an optionally substituted 4 to 7 membered azaheterocyclenyl ring;

R^2 is alkyl, alkenyl, alkynyl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclyl, optionally substituted heterocyclenyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted aralkenyl, optionally substituted heteroaralkenyl, optionally substituted aralkynyl, or optionally substituted heteroaralkynyl;

R^3 is hydrogen or lower alkyl;

R^4 is hydrogen, lower alkyl, Z^2 -(lower alkyl), lower acyl, aroyl or heteroaroyl; and

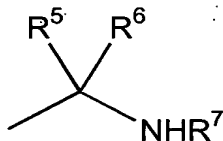
Z^1 is substituted aryl, substituted cycloalkyl, substituted cycloalkenyl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted

heterocyclenyl, substituted fused arylcycloalkyl, substituted fused arylcycloalkenyl, optionally substituted fused heteroaryl cycloalkyl, optionally substituted fused heteroaryl cycloalkenyl, optionally substituted fused heteroaryl heterocyclyl, optionally substituted fused heteroaryl heterocyclenyl; or
 a pharmaceutically acceptable salt thereof, an N-oxide thereof, a solvate thereof, an acid bioisostere thereof, or prodrug thereof,

provided that when Z^1 is

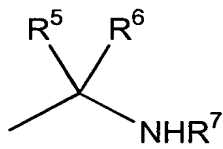
substituted solely by amidino or $N-(R^9O_2C-, R^9O-, HO-, R^9C(O)-, HCO-$ or lower alkyl) substituted amidino; wherein R^9 is alkyl, then Z^1 is other than indoyl, benzofuranyl, benzothienyl, benzoimidazolyl, benzoxazolyl, benzothiazolyl, naphthyl, tetrahydronaphthyl, indanyl, dihydrobenzofuranyl and dihydrobenzothienyl; or

substituted aryl, substituted cycloalkyl, or substituted cycloalkenyl, then it is substituted by, at least, a moiety of the formula



wherein R^7 is hydrogen, and R^5 and R^6 are hydrogen or together are $=NR^8$, and R^8 is selected from hydrogen, R^9O_2C- , R^9O- , $HO-$, $R^9C(O)-$, $HCO-$, cyano, optionally substituted lower alkyl, nitro or $Y^{1a}Y^{2a}N-$; wherein R^9 is alkyl, optionally substituted aralkyl, or optionally substituted heteroaralkyl, and Y^{1a} and Y^{2a} are independently hydrogen or alkyl.

2. The compound according to claim 1 wherein Z^1 is substituted by an amidino group



of formula $\begin{array}{c} R^5 \quad R^6 \\ \diagdown \quad \diagup \\ C \\ \diagup \\ NHR^7 \end{array}$ wherein R^5 and R^6 together are $=NR^8$; R^8 is selected from hydrogen, R^9O_2C- , R^9O- , $HO-$, $R^9C(O)-$, $HCO-$, cyano, optionally substituted lower alkyl, nitro or $Y^{1a}Y^{2a}N-$; wherein R^9 is alkyl, optionally substituted aralkyl, or optionally substituted heteroaralkyl; R^7 is selected from hydrogen, optionally substituted lower

alkyl, optionally substituted aralkyl and optionally substituted heteroaralkyl; and Y^{1a} and Y^{2a} are independently hydrogen or alkyl.

3. The compound according to claim 2 wherein R^5 and R^6 together are $=NR^8$; R^8 is hydrogen; and R^7 is hydrogen.
4. The compound according to claim 2 wherein R^5 and R^6 together are $=NR^8$, and R^7 and R^8 are independently optionally substituted lower alkyl.
5. The compound according to claim 1 wherein R^1 is hydrogen, $-CO_2R^3$, $-CH_2OR^4$ or $-CH_2SR^4$.
6. The compound according to claim 1 wherein R^1 is hydrogen, $-CO_2R^3$ or $-CH_2OR^4$.
7. The compound according to claim 1 wherein R^1 is $-CO_2R^3$ and R^3 is lower alkyl or hydrogen.
8. The compound according to claim 1 wherein R^1 is $-CH_2OR^4$ or $-CH_2SR^4$ and R^4 is hydrogen or lower alkyl.
9. The compound according to claim 1 wherein Ring A is an optionally substituted 5 membered azaheterocyclyl ring or an optionally substituted 5 membered azaheterocyclenyl ring.
10. The compound according to claim 1 wherein Ring A is an optionally substituted pyrrolidinyl ring or an optionally substituted pyrrolinyl ring.
11. The compound according to claim 1 wherein

R² is optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl or optionally substituted aralkynyl.

12. The compound according to claim 1 wherein R² is optionally substituted phenyl, optionally substituted naphthyl, or optionally substituted heteroaryl.

13. The compound according to claim 1 wherein R² is optionally substituted (phenyl substituted phenyl), optionally substituted (heteroaryl substituted phenyl), optionally substituted (phenyl substituted heteroaryl), optionally substituted (heteroaryl substituted heteroaryl), optionally substituted (phenyl substituted heterocyclenyl), optionally substituted (phenyl substituted heterocyclyl), optionally substituted (heteroaryl substituted heterocyclenyl) or optionally substituted (heteroaryl substituted heterocyclyl).

14. The compound according to claim 1 wherein R² as optionally substituted phenyl or optionally substituted heteroaryl is optionally substituted (phenyl substituted phenyl), optionally substituted (heteroaryl substituted phenyl), optionally substituted (phenyl substituted heteroaryl) or optionally substituted (heteroaryl substituted heteroaryl).

15. The compound according to claim 1 wherein R³ is lower alkyl.

16. The compound according to claim 1 wherein R⁴ is hydrogen or lower alkyl.

17. The compound according to claim 4 wherein R⁵ and R⁶ are hydrogen.

18. The compound according to claim 4 wherein

R⁹ is lower alkyl.

19. The compound according to claim 1 wherein

----- is a single bond.

20. The compound according to claim 1 wherein

----- is a single bond;

R¹ is -CO₂R³;

R² is optionally substituted (phenyl substituted phenyl), optionally substituted (heteroaryl substituted phenyl), optionally substituted (phenyl substituted heteroaryl), optionally substituted (heteroaryl substituted heteroaryl), optionally substituted (phenyl substituted heterocyclenyl), optionally substituted (phenyl substituted heterocyclyl), optionally substituted (heteroaryl substituted heterocyclenyl) or optionally substituted (heteroaryl substituted heterocyclyl); and

Z¹ is phenyl, azaheterocyclyl, azaheterocyclenyl, or heteroaryl, either of which may be substituted by, at least, an amidino substituent.

21. The compound according to claim 1 wherein Z¹ is substituted by, at least, an amidino group in the meta or para position of the ring system of Z¹, relative to the position of attachment of Z¹ to the rest of the molecule.

22. The compound according to claim 1 wherein ----- is a single or double bond; and

Z¹ is optionally substituted azaheteroaryl, optionally substituted azaheterocyclyl, optionally substituted azaheterocyclenyl, optionally substituted fused arylazaheteroaryl, optionally substituted fused azaheteroarylaryl, optionally substituted fused azaheteroarylcyloalkyl, optionally substituted fused azaheteroarylcyloalkenyl, optionally substituted fused azaheteroarylheterocyclyl, optionally substituted fused azaheteroarylheterocyclenyl, optionally substituted fused azaheteroarylazaheterocyclyl, optionally substituted fused azaheteroarylazaheterocyclenyl group; or
a pharmaceutically acceptable salt thereof, an N-oxide thereof or prodrug thereof.

23. The compound according to claim 2 wherein

R^5 and R^6 together are $=NR^8$;

R^8 is hydrogen;

R^7 are hydrogen;

R^1 is hydrogen, $-CO_2R^3$, $-C(O)R^3$, $-CH_2OR^4$ or $-CH_2SR^4$;

Ring A is an optionally substituted pyrrolidinyl ring or an optionally substituted pyrrolinyl ring;

R^2 is optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heteroaryl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheteroaryl, optionally substituted fused heteroarylaryl, optionally substituted fused heteroarylcyaloalkyl, optionally substituted fused heteroarylcyaloalkenyl, optionally substituted fused heteroarylheterocyclyl, optionally substituted fused heteroarylheterocyclenyl;

R^4 is hydrogen or lower alkyl; and

----- is a single or double bond; or

a pharmaceutically acceptable salt thereof, an N-oxide thereof or prodrug thereof.

24. A compound according to claim 1 which is:

2-[1-(Biphenyl-4-carbonyl)-pyrrolidin-2-yl]-3-(3-carbamimidoylphenyl)-propionic acid methyl ester trifluoroacetate, 3-(3-Carbamidoylphenyl)-2-[1-(4-pyridin-3-ylbenzoyl)-pyrrolidin-2-yl]propionic acid methyl ester ditrifluoroacetate, 2-[1-(3-Aminomethylbiphenyl-4-carbonyl)-pyrrolidin-2-yl]-3-(3-carbamimidoylphenyl)-propionic acid methyl ester ditrifluoroacetate, 3-(3-Carbamidoylphenyl)-2-[1-(6-chlorobenzo[b]thiophene-2-carbonyl)-pyrrolidin-2-yl]-propionic acid methyl ester trifluoroacetate, 3-(3-Carbamidoylphenyl)-2-[1-[4-(6-methoxypyrid-3-yl)-benzoyl]-pyrrolidin-2-yl]-propionic acid methyl ester ditrifluoroacetate, 3-(3-Carbamidoylphenyl)-2-[1-[4-(6-oxo-1,6-dihydropyrid-3-yl)-benzoyl]-pyrrolidin-2-yl]-propionic acid methyl ester trifluoroacetate, 2-[1-Biphenyl-4-carbonyl)-pyrrolidin-2-yl]-3-(3-carbamimidoylphenyl)-propionic acid methyl ester trifluoroacetate, 2-[1-Biphenyl-4-carbonyl)-pyrrolidin-2-yl]-3-(4-

carbamimidoylphenyl)-propionic acid methyl ester trifluoroacetate, 2-[1-(Biphenyl-4-carbonyl)-pyrrolidin-2-yl]-3-(1H-pyrrolo[3,2-c]pyridin-2-yl)-propionic acid methyl ester trifluoroacetate, 2-[1-(Biphenyl-4-carbonyl)-D-pyrrolidin-2-yl]-3-(1H-pyrrolo[2,3-c]pyridin-2-yl)-propionic acid methyl ester-trifluoroacetate, 3-(4-Amino-quinazolin-6-yl)-2-[1-(biphenyl-4-carbonyl)-D-pyrrolidin-2-yl]-propionic acid methyl ester-ditrifluoroacetate,

3-(R)-(3-Carbamimidoylphenyl)-2-(R)-{1-[4-(6-oxo-1,6-dihydropyrid-3-yl)-benzoyl]-pyrrolidin-2-yl}-propionic acid methyl ester trifluoroacetate, 3-(R)-(5-Carbamimidoyl-2-hydroxyphenyl)-2-(R)-{1-[4-(6-oxo-1,6-dihydropyrid-3-yl)-benzoyl]-pyrrolidin-2-yl}-propionic acid methyl ester trifluoroacetate,

4-Hydroxy-3-(2-{1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzoyl]-pyrrolidin-2-(R)-yl}-ethyl)-benzamidine trifluoroacetate, or 3(R)-(3-Carbamimidoyl-phenyl)-2(R)-{1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzoyl]-pyrrolidin-2-yl}-propionic acid-trifluoroacetate; 2-(R)-[1-(Biphenyl-4-carbonyl)-(R)-pyrrolidin-2-yl]-3-(R)-(3-carbamimidoyl-phenyl)-propionic acid methyl ester-trifluoroacetate, 3-(2-{1-[4-(6-Oxo-1,6-dihydro-pyridin-3-yl)-benzoyl]-pyrrolidin-2-(R,S)-yl}-ethyl)-benzamidine-trifluoroacetate, 4-Hydroxy-3-(2-{1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzoyl]-pyrrolidin-2-(R)-yl}vinyl)-benzamidine trifluoroacetate or

a pharmaceutically acceptable salt thereof, an N-oxide thereof, a solvate thereof, an acid bioisostere thereof, or prodrug thereof.

25. A pharmaceutical composition comprising a pharmaceutically effective amount of the compound according to claim 1 and a pharmaceutically acceptable carrier.

26. A method for treating a patient suffering from, or subject to, a disease state associated with a physiologically detrimental excess of Factor Xa activity comprising administering to said patient a pharmaceutically effective amount of the compound according to claim 1.

27. A method for treating a patient suffering from, or subject to, a disease state associated with a physiologically detrimental excess amount of thrombin, comprising

administering to said patient a pharmaceutically effective amount of the compound according to claim 1.

28. A method of inhibiting the activity of factor Xa comprising contacting a Factor Xa inhibitory amount of a compound according to claim 1 with a composition containing Factor Xa.

29. A method of inhibiting the formation of thrombin comprising contacting a Factor Xa inhibitory amount of a compound according to claim 1 with a composition containing Factor Xa.